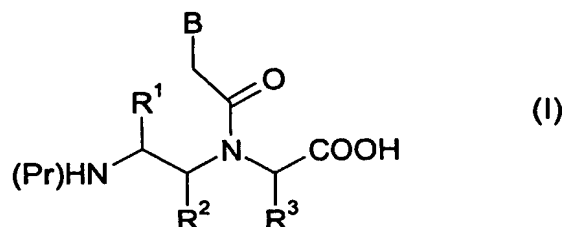
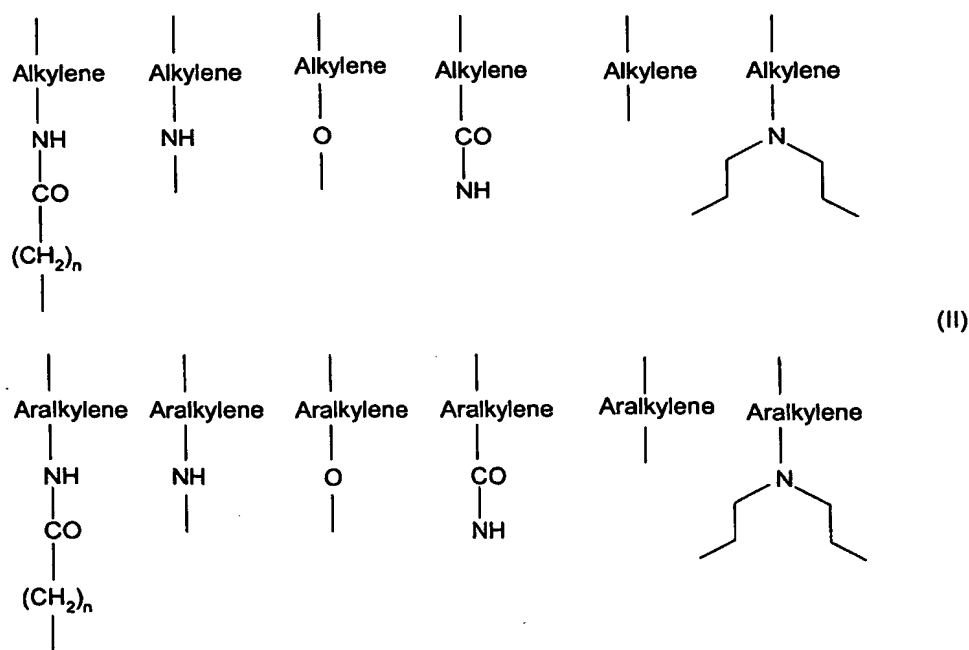


CLAIMS

1. A modified Peptide Nucleic Acid (PNA) monomer of formula (I):



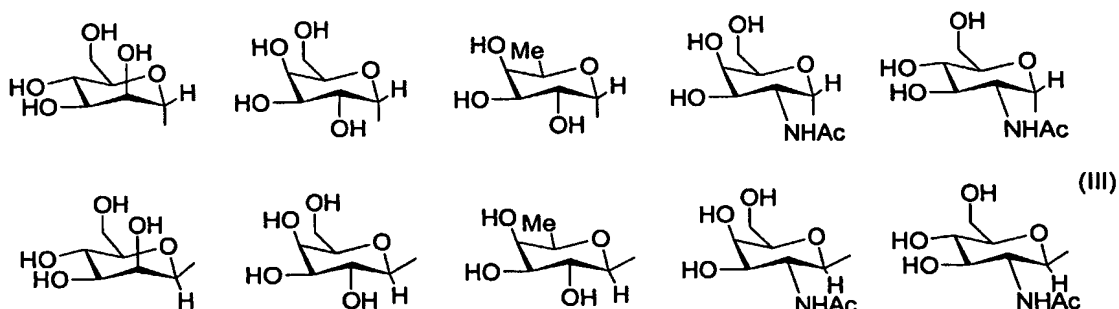
- 5 wherein B is a naturally-occurring nucleobase preferably A, T, G, or C, or a non-naturally-occurring nucleobase;
 (Pr) is hydrogen or a protection group;
 R¹, R² and R³ are, independently, hydrogen, an amino acid side chain, or an C₂₋₆-alkyl, aryl, aralkyl, heteroaryl, hydroxy, C₁₋₆-alkoxy, C₁₋₆-alkylthio, hydroxy- or alkoxy-
 10 or alkylthio-substituted C₁₋₆-alkyl, -NR⁴R⁵, (wherein R⁴ and R⁵ independently are hydrogen, C₁₋₆-alkyl, hydroxy- or alkoxy- or alkylthio-substituted C₁₋₆-alkyl), or Z¹-Z²,
 wherein Z¹ is a bond or one of the radicals of formula (II):



wherein n is from 0 to 8;

and Z² is alpha- or beta forms of a monosaccharide, a disaccharide, a polysaccharide, or one of the radicals of formula (III):

5

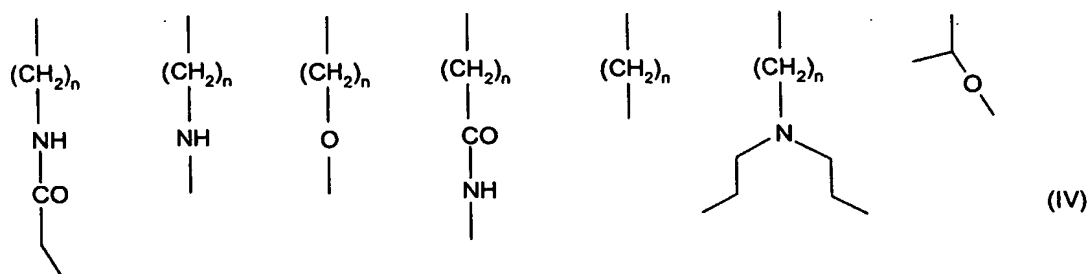


provided that at least one of R¹, R², or R³ is Z¹-Z².

2. A compound according to claim 1, wherein the amino acid side chain is selected from the group consisting of C₁₋₆-alkyl, 3-guanidinopropyl, carboxymethyl, aminocarboxymethyl, mercaptomethyl, 2-carboxyethyl, aminocarboxyethyl, imidazol-4-yl-methyl, 4-aminobutyl, 2-(methylthio)ethyl, benzyl, hydroxymethyl, 1-hydroxyethyl, 3-indolyl, 4-hydroxybenzyl, 2-hydroxymethyl, or 3-ureidopropyl, 4-pyridomethyl (or 1-methylpropyl, 2-methylpropyl or 1-methylethyl).

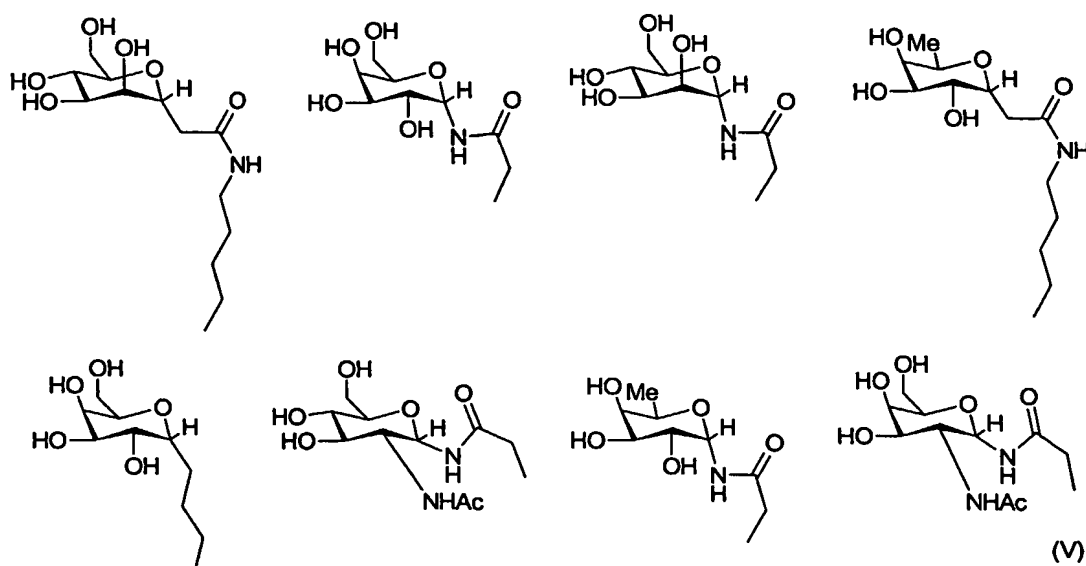
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3. A compound according to claim 1 or 2, wherein Z¹ is one of the radicals of formula (IV) wherein n is from 0 to 8:



- 20 wherein n is from 0 to 8.

4. A compound according to claim 1, wherein the disaccharide is selected from the group consisting of alfa and beta forms of sucrose, lactose, and maltose.
5. A compound according to claim 1 or 3, wherein Z^1 - Z^2 comprises ligands of formula (V):



or beta-forms hereof.

10

6. A peptide nucleic acid oligomer with from 4 to 50 monomers selected from the group consisting of aeg-PNA monomers and at least one monomer of claim 1 to 5.
7. A peptide nucleic acid molecule comprising a peptide nucleic acid oligomer of claim 6 and a conjugate bound to said peptide nucleic acid either directly or through a linking moiety, wherein said conjugate is a reporter enzyme, a reporter molecule, a steroid, a carbohydrate, a terpene, a peptide, a protein, an aromatic lipophilic molecule, a non aromatic lipophilic molecule, a phospholipid, an intercalator, a cell receptor binding molecule, a crosslinking agent, a water soluble vitamin, a lipid soluble vitamin, an RNA/DNA cleaving complex, a metal chelator, a porphyrin, an alkylator, or a polymeric compound selected from polymeric amines, polymeric glycols and
- 15
- 20

polyethers.

8. A peptide nucleic acid molecule comprising a peptide nucleic acid oligomer with from 4 to 50 aeg-PNA monomers and one or more ligands.

5

9. A peptide nucleic acid molecule of claim 8 wherein the ligand is one or more conjugate bound to said peptide nucleic acid either directly or through one or more linking moieties, wherein said conjugate is a reporter enzyme, a reporter molecule, a steroid, a carbohydrate, a terpene, a peptide, a protein, an aromatic lipophilic molecule, a non aromatic lipophilic molecule, a phospholipid, an intercalator, a cell receptor binding molecule, a crosslinking agent, a water soluble vitamin, a lipid soluble vitamin, an RNA/DNA cleaving complex, a metal chelator, a porphyrin, an alkylator, or a polymeric compound selected from polymeric amines, polymeric glycols and polyethers.

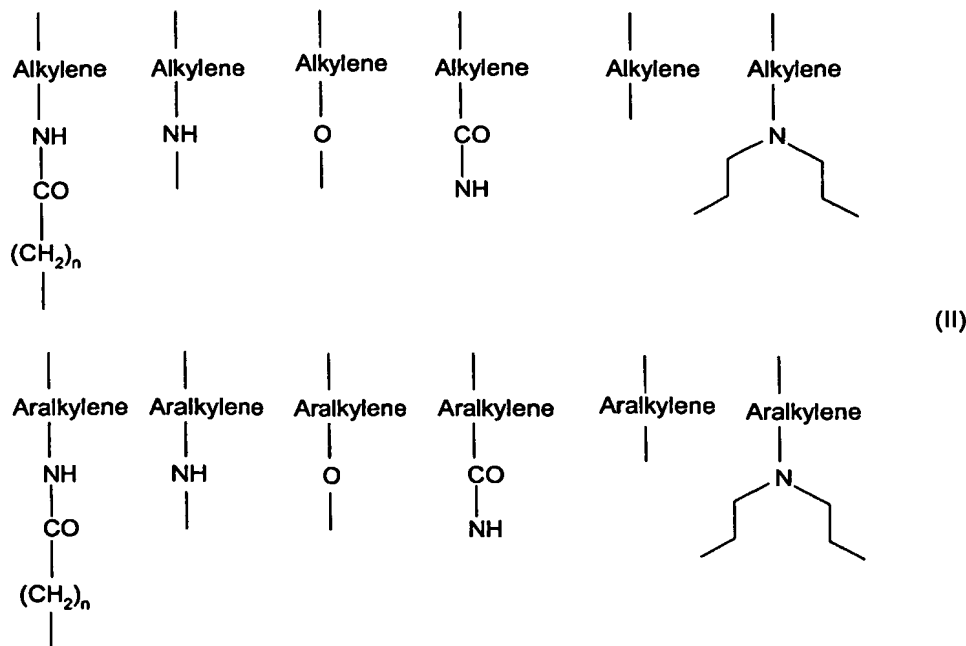
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10. A peptide nucleic acid molecule of claim 8 or 9 wherein the ligand has a high affinity towards a receptor expressed on the surface of cancer cells.

11. A peptide nucleic acid molecule comprising a peptide nucleic acid oligomer with from 4 to 50 aeg-PNA monomers and one or more conjugates bound to said peptide nucleic acid either directly or through one or more linking moieties, wherein said conjugate is an amino acid side chain, or an C₂₋₆-alkyl, aryl, aralkyl, heteroaryl, hydroxy, C₁₋₆-alkoxy, C₁₋₆-alkylthio, hydroxy- or alkoxy- or alkylthio-substituted C₁₋₆-alkyl, -NR⁴R⁵, (wherein R⁴ and R⁵ independently are hydrogen, C₁₋₆-alkyl, hydroxy- or alkoxy- or alkylthio-substituted C₁₋₆-alkyl), or Z¹-Z², wherein Z¹ is a bond, a peptide of from 1 to 10 amino acids or one of the radicals of formula (II):

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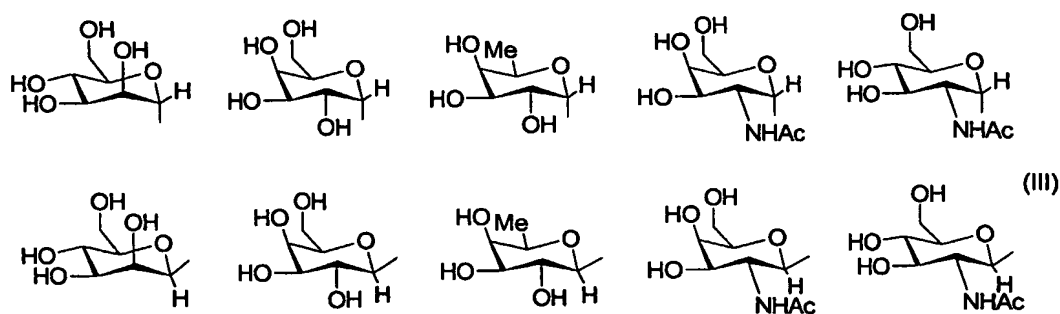
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wherein n is from 0 to 8;

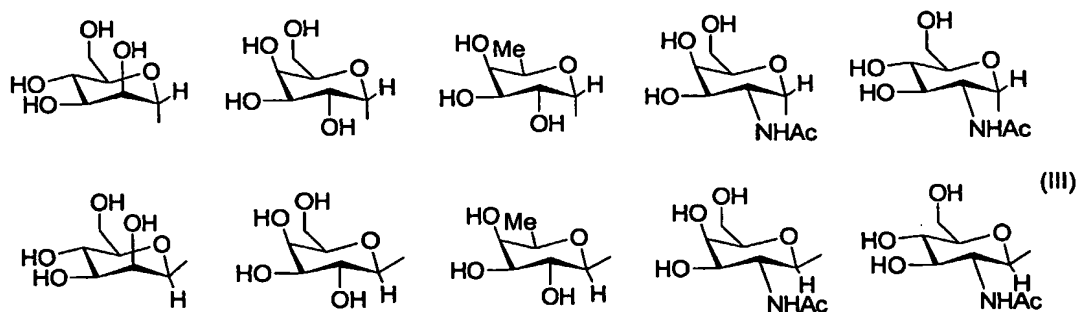
and Z² is alfa- or beta forms of a monosaccharide, a disaccharide, a polysaccharide,

5 or one of the radicals of formula (III):



12. A peptide nucleic acid molecule of claim 12 wherein the conjugate is a carbohydrate selected from the group consisting of β -D-galactosyl, 2-acetamido-2-deoxy-
 10 galactopyranosyl, 1-phenyl- β -D-galactosyl, 1-propyl- β -D-galactosyl or 1-butyl- β -D-galactosyl

13. A peptide nucleic acid molecule of claim 12 wherein the conjugate is a carbohydrate selected from the group consisting of one of the radicals of formula (III):

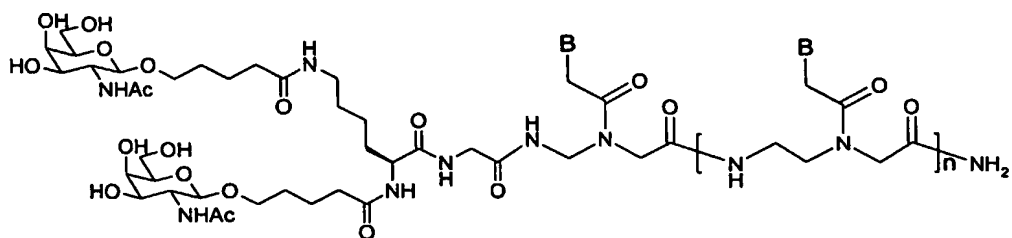


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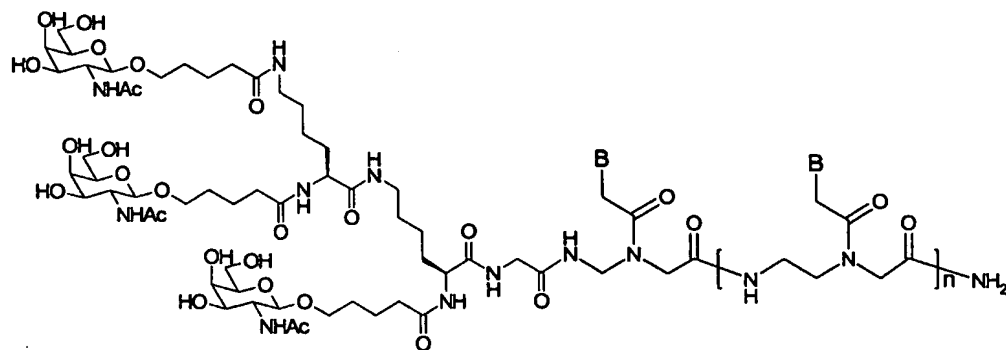
14. A peptide nucleic acid molecule of claim 13 or 14 wherein the carbohydrate is linked to the N-terminal end, the C-terminal end or to both ends of the peptide nucleic acid oligomer through one or more linkers.

10

15. A peptide nucleic acid molecule of the following formula:



16. A peptide nucleic acid molecule of the following formula:



17. A peptide nucleic acid molecule selected from:

(GalNAc(OH)₃)₂-Lys-Gly-CATCACTGGCAGACCCTG-NH₂

5 (GalNAc(OH)₃)₃Lys₂Gly-GTGGATGATACCTGGATC-NH₂

or (GalNAc(OH)₃)₄Lys₃Gly-GTGGATGATACCTGGATC-NH₂

18. A peptide nucleic acid molecule according to any of the claims 9 to 15 wherein the linking moiety is an amino acid sequence of from 1 to 10 positively charged amino acids or amino acid analogues.

19. A peptide nucleic acid molecule according to claim 20 wherein the linking moiety is Lys-Lys-Lys-Lys.

15 20. Use of a PNA compound according to any of claims 1 to 20 in the manufacture of a medicament for the treatment or prevention of bacterial, viral, protozoal, and fungal infections, cancer, metabolic diseases, cardiovascular diseases, autoimmune and immunological disorders, or for disinfecting non-living objects, such as surgery tools, hospital inventory, dental tools, slaughterhouse inventory and tool, dairy inventory and tools, barbers and beauticians tools, and the like.

21. Use of a PNA compound according to any of claims 1 to 20 in the manufacture of a composition for the treatment or prevention of bacterial, viral, protozoal, and fungal infections, cancer, metabolic diseases, cardiovascular diseases, autoimmune

and immunological disorders, or for disinfecting non-living objects, such as surgery tools, hospital inventory, dental tools, slaughterhouse inventory and tool, dairy inventory and tools, barbers and beauticians tools, and the like.

5 22. A pharmaceutical composition comprising, as an active ingredient, a compound according to any one of the preceding compound claims 1 to 20 or a pharmaceutically acceptable salt thereof together with a pharmaceutically acceptable carrier or diluent.

10 23. A composition according to claim 23 in unit dosage form, comprising from about 0.05 to about 100 mg, preferably from about 0.1 to about 50 mg of the compound according to any one of the preceding compound claims 1 to 20 or a pharmaceutically acceptable salt thereof.

15 24. A pharmaceutical composition according to any one of the claims 23 or 24 for oral, nasal, transdermal, pulmonal, or parenteral administration.

25 25. A pharmaceutical composition according to claim 23 to 25 for the treatment or prevention of bacterial, viral, protozoal, and fungal infections, cancer, metabolic diseases, cardiovascular diseases, autoimmune and immunological disorders, or
20 treatment of non-living objects, the composition comprising, as an active ingredient, a compound according to any one of the preceding compound claims 1 to 20 or a pharmaceutically acceptable salt thereof together with a pharmaceutically acceptable carrier or diluent.

25

26. A method of treating a disease selected from bacterial, viral, protozoal, and fungal infections, cancer, metabolic diseases, cardiovascular diseases, autoimmune or immunological disorders comprising administering to a patient in need thereof an efficient amount of a compound of claim 1 to 20, the method comprising
30 administering to a subject in need thereof an effective amount of a compound according to any one of the preceding compound claims 1 to 20 or a pharmaceutically acceptable salt thereof, or of a composition according to any one of the preceding composition claims.

27. The method according to claim 27, wherein the effective amount of the compound according to any one of the preceding compound claims 1 to 20 or a pharmaceutically acceptable salt or ester thereof is in the range of from about 0.05 to about 100 mg per day, preferably from about 0.1 to about 50 mg per day.

5

28. A compound selected from:

GalNAc(OBz)₃-O-(CH₂)₄-COOH,

GalNAc(OBz)₃-O-(CH₂)₄-CONH-Lys(GalNAc(OBz)₃-O-(CH₂)₄-CONH-)-Gly-OH,

GalNAc(OBz)₃-O-(CH₂)₄-CONH-Lys(GalNAc(OBz)₃-O-(CH₂)₄-CONH)-

10 Lys(GalNAc(OBz)₃-O-(CH₂)₄-CONH)-Gly-OH or

GalNAc(OBz)₃-O-(CH₂)₄-CONH -Lys(GalNAc(OBz)₃-O-(CH₂)₄-CONH -

Lys(GalNAc(OBz)₃-O-(CH₂)₄-CONH -Lys(GalNAc(OBz)₃-O-(CH₂)₄-CONH))) -Gly-OH